(19) World Intellectual Property Organization International Bureau





(43) International Publication Date 2 June 2005 (02.06.2005)

PCT

(10) International Publication Number WO 2005/049577 A1

- (51) International Patent Classification⁷: C07D 217/22, 401/04, 401/12, A61P 1/00, 9/00, 25/00, A61K 31/472, 31/4709, C07D 401/14
- (21) International Application Number:

PCT/EP2004/013072

(22) International Filing Date:

17 November 2004 (17.11.2004)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 0326963.6 19 Novemb

19 November 2003 (19.11.2003) GB

- (71) Applicant (for all designated States except US): GLAXO GROUP LIMITED [GB/GB]; Glaxo Wellcome House, Berkeley Avenue, Greenford Middlesex UB6 0NN (GB).
- (72) Inventor; and
- (75) Inventor/Applicant (for US only): WASHIO, Yoshiaki [JP/JP]; Tsukuba Research Labs, 43 Wadai, Tsukuba-shi, Ibaraki 300-4247 (JP).
- (74) Agent: LEAROYD, Stephanie, Anne; GlaxoSmithKline, Corporate Intellectual Property (CN925.1), 980 Great West Road, Brentford Middlesex TW8 9GS (GB).

- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 1-AMINO-ISOQUINOLINE DERIVATIVES FOR THE TREATMENT OF DISEASES ASSOCIATED WITH INAP-PROPRIATE ALK5

$$\begin{array}{c|c}
R^1 \\
\hline
N \\
NH \\
\hline
R^2
\end{array}$$

(57) Abstract: A compound of Formula (I) wherein R¹ represents a phenyl or napthyl group (each of which is optionally substituted by one or more substituents independently selected from -OH, -C₁₋₆alkyl, C₁₋₆haloalkyl, -OCH₂OCH₃, -C₁₋₆alkoxy, -halogen,), or a mono or bicyclic heteroaryl group comprising 1, 2 or 3 nitrogen atoms, optionally substituted by -C₁₋₆alkoxy, -C₁₋₆alkyl, C₁₋₆haloalkyl or =0; R² represents H, benzoimidazolyl, benzothiazolyl, isoquinolinyl, or quinolinyl group or phenyl (said phenyl being optionally substituted by -NR³R⁴, -C₁₋₄alkoxy, -C₁₋₆alkyl, -CONR³R⁴, -SO₂NR³R⁴, -NHCONR³R⁴, -NHCOC₁₋₆alkyl, -C₁₋₆haloalkyl, -OCH₂O-, -phenoxy (wherein the phenyl moiety is optionally substituted by NH₂), -C₁₋₃alkyl, -C₁₋₃alkyl, -C₁₋₃alkyl, -C₁₋₃alkylNR⁵R⁶; R⁵ and R⁶ are independently H or C₁₋₃alkyl; or a salt, solvate, or physiologically functional derivative thereof.